PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

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FULL SEARCH INITIATED 15:23:06 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1952 TO ITERATE

100.0% PROCESSED 1952 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

L3 2 SEA SSS FUL L1

=> file marpat

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	148.15	148.36

FILE 'MARPAT' ENTERED AT 15:23:15 ON 17 NOV 2003
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE CONTENT: 1988-PRESENT (VOL 104 ISS 15-VOL 139 ISS20)(20031114ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6632961 14 OCT 2003
DE 10232663 16 OCT 2003
EP 1354869 22 OCT 2003
JP 2003300880 21 OCT 2003
WO 2003087212 23 OCT 2003

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s l1 sss full
FULL SEARCH INITIATED 15:23:25 FILE 'MARPAT'
FULL SCREEN SEARCH COMPLETED - 431 TO ITERATE

100.0% PROCESSED 431 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.07

L4 0 SEA SSS FUL L1

=> file caold

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	104.55	252.91

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FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> s l1 sss full
REGISTRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 15:23:54 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1952 TO ITERATE

100.0% PROCESSED 1952 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

L5 2 SEA SSS FUL L1

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=> file caplus
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FULL ESTIMATED COST	0.40	401.86

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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 17 Nov 2003 VOL 139 ISS 21
FILE LAST UPDATED: 16 Nov 2003 (20031116/ED)

This file contains CAS Registry Numbers for easy and accurate

substance identification.

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     FILE 'CAPLUS' ENTERED AT 15:24:08 ON 17 NOV 2003

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=> s l5
L8           1 L5

=> s l7 and l8
L9           1 L7 AND L8

=> d l7 fbib hitstr abs total

L7   ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2003 ACS on STN
AN   2001:31501  CAPLUS
DN   134:100887
TI   Preparation of tricyclic compounds having spiro-piperidine as inhibitors
     of blood coagulation factor X (FXa) and anticoagulants
IN   Nishida, Hidemitsu; Saitoh, Fumihiko; Harada, Kousuke; Shiromizu, Ikuya
PA   Mochida Pharmaceutical Co., Ltd., Japan
SO   PCT Int. Appl., 305 pp.
     CODEN: PIXXD2
DT   Patent
LA   Japanese
FAN.CNT 2
     PATENT NO.         KIND  DATE          APPLICATION NO.  DATE
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             IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
             MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
             SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
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JP 1999-222883 A 19990630

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JP 1999-222883 A 19990630
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JP 1999-222883 A 19990630
WO 2000-JP4374 A220000630
JP 2000-399998 A 20001228

NO 2001006402 A 20020227 NO 2001-6402 20011228
JP 1999-222883 A 19990630
WO 2000-JP4374 W 20000630

PATENT FAMILY INFORMATION:

FAN 2002:521746

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PI	WO 2002053568	A1	20020711	WO 2001-JP11656	20011228

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JP 2000-399998 A 20001228

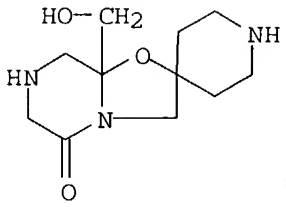
EP 1346994 A1 20030924 EP 2001-272922 20011228
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
JP 2000-399998 A 20001228
WO 2001-JP11656W 20011228

OS MARPAT 134:100887

IT **318988-48-6P**, 1,4-Diaza-6-(hydroxymethyl)-7-oxaspiro[bicyclo[4.3.0]nonane-8,4'-piperidine]-2-one **318988-58-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of tricyclic compds. having spiro-piperidine as inhibitors of blood coagulation factor X (FXa) and anticoagulants or as pharmacophores in mol. designing Fxa inhibitors)

RN 318988-48-6 CAPLUS

CN Spiro[5H-oxazolo[3,2-a]pyrazine-2(3H),4'-piperidin]-5-one, tetrahydro-8a-(hydroxymethyl)- (9CI) (CA INDEX NAME)



RN 318988-58-8 CAPLUS
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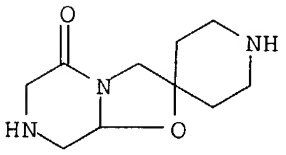

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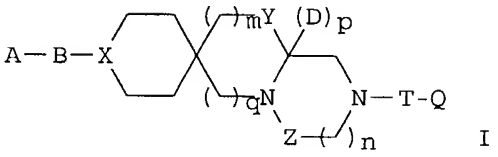

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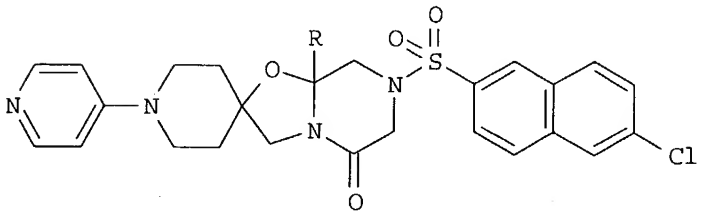

II

AB Arom. compds. having cyclic amino which are represented by general formula (I) or salts thereof [wherein A = H, (un)substituted (un)satd. 5- to 6-membered cyclic hydrocarbyl or heterocyclyl, (un)substituted NH2, (un)substituted imidoyl; B = single bond, CO, SO, (un)substituted C1-2 alkylene; D = H, (un)substituted CHO, (un)substituted C1-6 alkyl; X = N, (un)substituted methine; Y = O, S(O)y (wherein y = 0,1,2), (un)substituted NH; Z = CH2, CO, C(S); T = S(O)z (wherein z = 0,1,2), CO, (un)substituted C1-2 alkylene; Q = (un)substituted hydrocarbyl or heterocyclyl; m, n, q = 0, 1,2; p = 0,1; the three rings contg. X, Y, or Z is optionally substituted; the bond represented by a dotted and solid line in the ring contg. Z is a single bond or a double bond when p = 0] are prepd. These compds. are useful as drugs, in particular, activated blood coagulation factor X inhibitors for the prevention and treatment of diseases caused by thrombus or embolism, influenza virus infection, or periodontosis, exert a potent anticoagulation effect, and can be orally administered. A

pharmacophore derived from the above compds. is also useful in mol. designing Fxa inhibitors. Thus, 4-(aminomethyl)-1-benzyl-4-hydroxypiperidine was cyclocondensed with Et 2-[N-(3-acetoxy-2-oxopropan-1-yl)-N-(6-chloronaphthalene-2-ylsulfonyl)amino]acetate under reflux in the presence of p-MeC6H4SO3H.H2O using a Dean-Stark trap to give 6-acetoxy-1,4-diaza-1'-benzyl-4-(6-chloronaphthalene-2-ylsulfonyl)-7-oxaspiro[bicyclo[4.3.0]nonan-8,4'-piperidine]-2-one which underwent sapon. with a mixt. of aq. NaOH and MeOH, methylation by di-Me sulfate, and debenzylation with 1-chloroethyl chloroformate to give 1,4-diaza-4-(6-chloronaphthalene-2-ylsulfonyl)-6-(methoxymethyl)-7-oxaspiro[bicyclo[4.3.0]nonan-8,4'-piperidine]-2-one hydrochloride. The latter compd. was condensed with 4-chloropyridine hydrochloride in the presence of diisopropylethylamine in 2-ethoxyethanol under reflux for 2 h to give 1,4-diaza-4-(6-chloronaphthalene-2-ylsulfonyl)-6-(methoxymethyl)-7-oxo-1'-(4-pyridyl)-spiro[bicyclo[4.3.0]nonan-8,4'-piperidine]-2-one (II; R = CH2OMe). II (R = CH2OMe) and II (R = CO2Et) showed IC50 of 0.0032 and 0.0015 .mu.M, resp., against Fxa.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE 'REGISTRY' ENTERED AT 15:22:35 ON 17 NOV 2003
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FILE 'MARPAT' ENTERED AT 15:23:15 ON 17 NOV 2003
L4 0 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 15:23:47 ON 17 NOV 2003
S L1

FILE 'REGISTRY' ENTERED AT 15:23:53 ON 17 NOV 2003
L5 2 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 15:23:55 ON 17 NOV 2003
L6 0 S L5 SSS FULL

FILE 'CAPLUS' ENTERED AT 15:24:08 ON 17 NOV 2003
L7 1 S L3
L8 1 S L5
L9 1 S L7 AND L8

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L8 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:31501 CAPLUS
DN 134:100887
TI Preparation of tricyclic compounds having spiro-piperidine as inhibitors of blood coagulation factor X (FXa) and anticoagulants
IN Nishida, Hidemitsu; Saitoh, Fumihiko; Harada, Kousuke; Shiromizu, Ikuya
PA Mochida Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 305 pp.
CODEN: PIXXD2

DT Patent
LA Japanese
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001002397	A1	20010111	WO 2000-JP4374	20000630
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			JP 1999-222883 A	19990630
	EP 1191028	A1	20020327	EP 2000-940912	20000630
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				WO 2000-JP4374 W	20000630
	ZA 2001010558	A	20020912	ZA 2001-10558	20011221
				JP 1999-222883 A	19990630
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				WO 2000-JP4374 A2	20000630
				JP 2000-399998 A	20001228
	NO 2001006402	A	20020227	NO 2001-6402	20011228
				JP 1999-222883 A	19990630
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PATENT FAMILY INFORMATION:
FAN 2002:521746

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002053568	A1	20020711	WO 2001-JP11656	20011228
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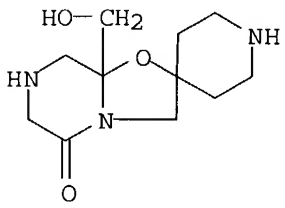
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			JP 2000-399998 A	20001228
	EP 1346994	A1	20030924	EP 2001-272922	20011228
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
				JP 2000-399998 A	20001228
				WO 2001-JP11656W	20011228

OS MARPAT 134:100887
IT **318988-48-6P**, 1,4-Diaza-6-(hydroxymethyl)-7-oxaspiro[bicyclo[4.3.0]nonane-8,4'-piperidine]-2-one **318988-58-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of tricyclic compds. having spiro-piperidine as inhibitors of blood coagulation factor X (FXa) and anticoagulants or as pharmacophores in mol. designing Fxa inhibitors)

RN 318988-48-6 CAPLUS

CN Spiro[5H-oxazolo[3,2-a]pyrazine-2(3H),4'-piperidin]-5-one, tetrahydro-8a-(hydroxymethyl)- (9CI) (CA INDEX NAME)



RN 318988-58-8 CAPLUS

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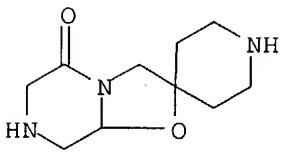

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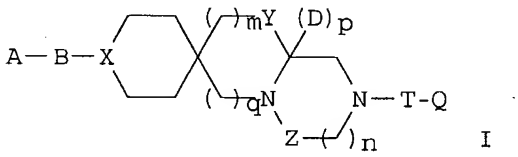

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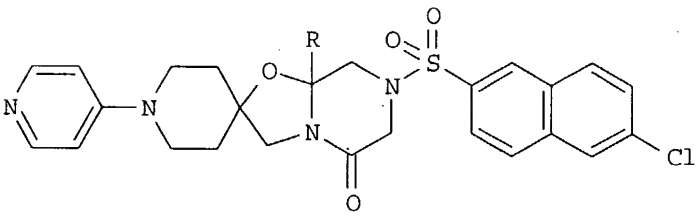

II

AB Arom. compds. having cyclic amino which are represented by general formula (I) or salts thereof [wherein A = H, (un)substituted (un)satd. 5- to 6-membered cyclic hydrocarbyl or heterocyclyl, (un)substituted NH2, (un)substituted imidoyl; B = single bond, CO, SO, (un)substituted C1-2 alkylene; D = H, (un)substituted CHO, (un)substituted C1-6 alkyl; X = N, (un)substituted methine; Y = O, S(O)y (wherein y = 0,1,2), (un)substituted NH; Z = CH2, CO, C(S); T = S(O)z (wherein z = 0,1,2), CO, (un)substituted

C1-2 alkylene; Q = (un)substituted hydrocarbyl or heterocyclyl; m, n, q = 0, 1,2; p = 0,1; the three rings contg. X, Y, or Z is optionally substituted; the bond represented by a dotted and solid line in the ring contg. Z is a single bond or a double bond when p = 0] are prepd. These compds. are useful as drugs, in particular, activated blood coagulation factor X inhibitors for the prevention and treatment of diseases caused by thrombus or embolism, influenza virus infection, or periodontosis, exert a potent anticoagulation effect, and can be orally administered. A pharmacophore derived from the above compds. is also useful in mol. designing Fxa inhibitors. Thus, 4-(aminomethyl)-1-benzyl-4-hydroxypiperidine was cyclocondensed with Et 2-[N-(3-acetoxy-2-oxopropan-1-yl)-N-(6-chloronaphthalene-2-ylsulfonyl)amino]acetate under reflux in the presence of p-MeC6H4SO3H.H2O using a Dean-Stark trap to give 6-acetoxy-1,4-diaza-1'-benzyl-4-(6-chloronaphthalene-2-ylsulfonyl)-7-oxaspiro[bicyclo[4.3.0]nonan-8,4'-piperidine]-2-one which underwent sapon. with a mixt. of aq. NaOH and MeOH, methylation by di-Me sulfate, and debenzylation with 1-chloroethyl chloroformate to give 1,4-diaza-4-(6-chloronaphthalene-2-ylsulfonyl)-6-(methoxymethyl)-7-oxaspiro[bicyclo[4.3.0]nonan-8,4'-piperidine]-2-one hydrochloride. The latter compd. was condensed with 4-chloropyridine hydrochloride in the presence of diisopropylethylamine in 2-ethoxyethanol under reflux for 2 h to give 1,4-diaza-4-(6-chloronaphthalene-2-ylsulfonyl)-6-(methoxymethyl)-7-oxo-1'-(4-pyridyl)-spiro[bicyclo[4.3.0]nonan-8,4'-piperidine]-2-one (II; R = CH2OMe). II (R = CH2OMe) and II (R = CO2Et) showed IC50 of 0.0032 and 0.0015 .mu.M, resp., against Fxa.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE 'REGISTRY' ENTERED AT 15:22:35 ON 17 NOV 2003
L1 STRUCTURE UPLOADED
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L3 2 S L1 SSS FULL

FILE 'MARPAT' ENTERED AT 15:23:15 ON 17 NOV 2003
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FILE 'CAOLD' ENTERED AT 15:23:47 ON 17 NOV 2003
S L1

FILE 'REGISTRY' ENTERED AT 15:23:53 ON 17 NOV 2003
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FILE 'CAOLD' ENTERED AT 15:23:55 ON 17 NOV 2003
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L8 1 S L5
L9 1 S L7 AND L8

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L10 0 L9 AND FXA RECEPTOR

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L11           0 L9 AND FX

=> s l9 and FXa and coagulation
L12           1 L9 AND FXA AND COAGULATION

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L12  ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2003 ACS on STN
AN   2001:31501  CAPLUS
DN   134:100887
TI   Preparation of tricyclic compounds having spiro-piperidine as inhibitors
     of blood coagulation factor X (FXa) and anticoagulants
IN   Nishida, Hidemitsu; Saitoh, Fumihiko; Harada, Kousuke; Shiromizu, Ikuya
PA   Mochida Pharmaceutical Co., Ltd., Japan
SO   PCT Int. Appl., 305 pp.
     CODEN: PIXXD2
DT   Patent
LA   Japanese
FAN.CNT 2
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PI   WO 2001002397      A1    20010111       WO 2000-JP4374    20000630
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PATENT FAMILY INFORMATION:
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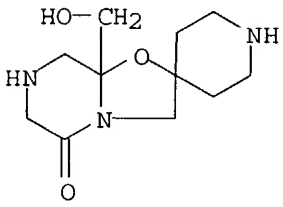
JP 2000-399998 A 20001228
WO 2001-JP11656W 20011228

OS MARPAT 134:100887

IT **318988-48-6P**, 1,4-Diaza-6-(hydroxymethyl)-7-oxaspiro[bicyclo[4.3.0]nonane-8,4'-piperidine]-2-one **318988-58-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of tricyclic compds. having spiro-piperidine as inhibitors of blood **coagulation** factor X (**FXa**) and anticoagulants or as pharmacophores in mol. designing **Fxa** inhibitors)

RN 318988-48-6 CAPLUS

CN Spiro[5H-oxazolo[3,2-a]pyrazine-2(3H),4'-piperidin]-5-one, tetrahydro-8a-(hydroxymethyl)- (9CI) (CA INDEX NAME)



RN 318988-58-8 CAPLUS

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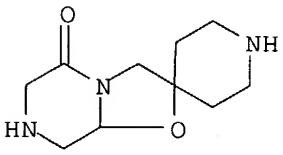

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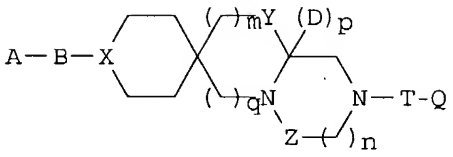

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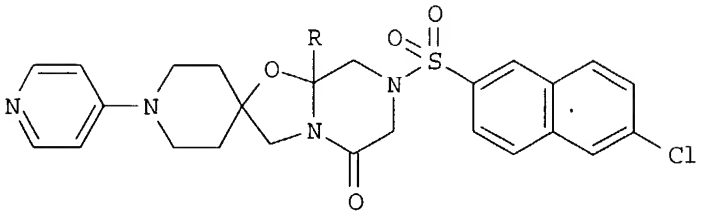

II

AB Arom. compds. having cyclic amino which are represented by general formula (I) or salts thereof [wherein A = H, (un)substituted (un)satd. 5- to 6-membered cyclic hydrocarbyl or heterocyclyl, (un)substituted NH2, (un)substituted imidoyl; B = single bond, CO, SO, (un)substituted C1-2 alkylene; D = H, (un)substituted CHO, (un)substituted C1-6 alkyl; X = N, (un)substituted methine; Y = O, S(O)y (wherein y = 0,1,2), (un)substituted NH; Z = CH2, CO, C(S); T = S(O)z (wherein z = 0,1,2), CO, (un)substituted C1-2 alkylene; Q = (un)substituted hydrocarbyl or heterocyclyl; m, n, q = 0, 1,2; p = 0,1; the three rings contg. X, Y, or Z is optionally substituted; the bond represented by a dotted and solid line in the ring contg. Z is a single bond or a double bond when p = 0] are prepd. These compds. are useful as drugs, in particular, activated blood **coagulation** factor X inhibitors for the prevention and treatment of diseases caused by thrombus or embolism, influenza virus infection, or periodontosis, exert a potent anticoagulation effect, and can be orally administered. A pharmacophore derived from the above compds. is also useful in mol. designing **Fxa** inhibitors. Thus, 4-(aminomethyl)-1-benzyl-4-hydroxypiperidine was cyclocondensed with Et 2-[N-(3-acetoxy-2-oxopropan-1-yl)-N-(6-chloronaphthalene-2-ylsulfonyl)amino]acetate under reflux in the presence of p-MeC6H4SO3H.H2O using a Dean-Stark trap to give 6-acetoxy-1,4-diaza-1'-benzyl-4-(6-chloronaphthalene-2-ylsulfonyl)-7-oxaspiro[bicyclo[4.3.0]nonan-8,4'-piperidine]-2-one which underwent sapon. with a mixt. of aq. NaOH and MeOH, methylation by di-Me sulfate, and debenzylation with 1-chloroethyl chloroformate to give 1,4-diaza-4-(6-chloronaphthalene-2-ylsulfonyl)-6-(methoxymethyl)-7-oxaspiro[bicyclo[4.3.0]nonan-8,4'-piperidine]-2-one hydrochloride. The latter compd. was condensed with 4-chloropyridine hydrochloride in the presence of diisopropylethylamine in 2-ethoxyethanol under reflux for 2 h to give 1,4-diaza-4-(6-chloronaphthalene-2-ylsulfonyl)-6-(methoxymethyl)-7-oxo-1'-(4-pyridyl)-spiro[bicyclo[4.3.0]nonan-8,4'-piperidine]-2-one (II; R = CH2OMe). II (R = CH2OMe) and II (R = CO2Et) showed IC50 of 0.0032 and 0.0015 .mu.M, resp., against **Fxa**.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log y
COST IN U.S. DOLLARS SINCE FILE TOTAL

	ENTRY	SESSION
FULL ESTIMATED COST	42.42	444.28

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-1.95	-1.95

STN INTERNATIONAL LOGOFF AT 15:27:22 ON 17 NOV 2003

Welcome to STN International! Enter x:x

LOGINID:sssptal611sxp

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	SEP 09	CA/CAplus records now contain indexing from 1907 to the present
NEWS	4	AUG 05	New pricing for EUROPATFULL and PCTFULL effective August 1, 2003
NEWS	5	AUG 13	Field Availability (/FA) field enhanced in BEILSTEIN
NEWS	6	AUG 18	Data available for download as a PDF in RDISCLOSURE
NEWS	7	AUG 18	Simultaneous left and right truncation added to PASCAL
NEWS	8	AUG 18	FROSTI and KOSMET enhanced with Simultaneous Left and Righ Truncation
NEWS	9	AUG 18	Simultaneous left and right truncation added to ANABSTR
NEWS	10	SEP 22	DIPPR file reloaded
NEWS	11	SEP 25	INPADOC: Legal Status data to be reloaded
NEWS	12	SEP 29	DISSABS now available on STN
NEWS	13	OCT 10	PCTFULL: Two new display fields added
NEWS	14	OCT 21	BIOSIS file reloaded and enhanced
NEWS	15	OCT 28	BIOSIS file segment of TOXCENTER reloaded and enhanced

NEWS EXPRESS	NOVEMBER 14 CURRENT WINDOWS VERSION IS V6.01c, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 15:07:30 ON 17 NOV 2003

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

Patel <11/18/2003>

FILE 'REGISTRY' ENTERED AT 15:07:43 ON 17 NOV 2003

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 16 NOV 2003 HIGHEST RN 617673-49-1
DICTIONARY FILE UPDATES: 16 NOV 2003 HIGHEST RN 617673-49-1

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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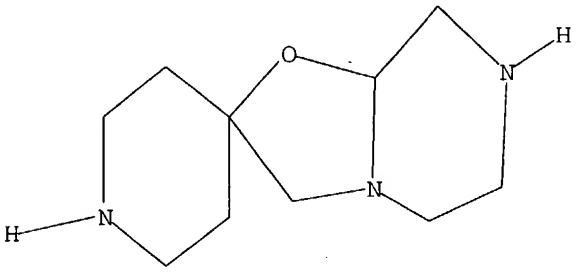

Structure attributes must be viewed using STN Express query preparation.

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100.0% PROCESSED 21 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 146 TO 694

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full
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100.0% PROCESSED 522 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

L3 2 SEA SSS FUL L1

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FULL ESTIMATED COST 148.15 148.36

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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE CONTENT: 1988-PRESENT (VOL 104 ISS 15-VOL 139 ISS20)(20031114ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6632961 14 OCT 2003
DE 10232663 16 OCT 2003
EP 1354869 22 OCT 2003
JP 2003300880 21 OCT 2003
WO 2003087212 23 OCT 2003

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

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SEARCH TIME: 00.00.01

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L4 0 SEA SSS SAM L1

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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> s l1 sss full
REG1stRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 15:08:54 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 522 TO ITERATE

100.0% PROCESSED 522 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

L6 2 SEA SSS FUL L1

L7 0 L6

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SESSION CONTINUES IN FILE 'CAOLD'
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FILE COVERS 1907 - 17 Nov 2003  VOL 139 ISS 21
FILE LAST UPDATED: 16 Nov 2003  (20031116/ED)

  This file contains CAS Registry Numbers for easy and accurate
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AN   2001:31501  CAPLUS
DN   134:100887
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TI Preparation of tricyclic compounds having spiro-piperidine as inhibitors of blood coagulation factor X (FXa) and anticoagulants
IN Nishida, Hidemitsu; Saitoh, Fumihiko; Harada, Kousuke; Shiromizu, Ikuya
PA Mochida Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 305 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 2

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PATENT FAMILY INFORMATION:
FAN 2002:521746

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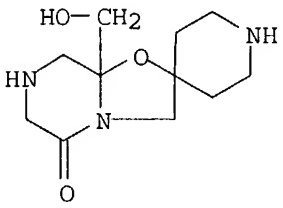
OS MARPAT 134:100887

IT **318988-48-6P**, 1,4-Diaza-6-(hydroxymethyl)-7-oxaspiro[bicyclo[4.3.0]nonane-8,4'-piperidine]-2-one **318988-58-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of tricyclic compds. having spiro-piperidine as inhibitors of blood coagulation factor X (FXa) and anticoagulants or as pharmacophores in mol. designing Fxa inhibitors)

RN 318988-48-6 CAPLUS

CN Spiro[5H-oxazolo[3,2-a]pyrazine-2(3H),4'-piperidin]-5-one, tetrahydro-8a-(hydroxymethyl)- (9CI) (CA INDEX NAME)



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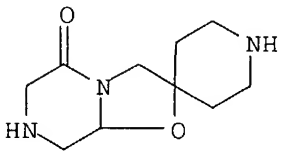

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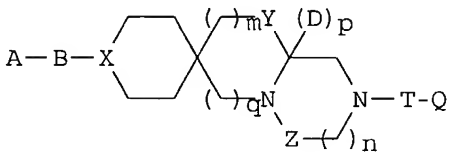

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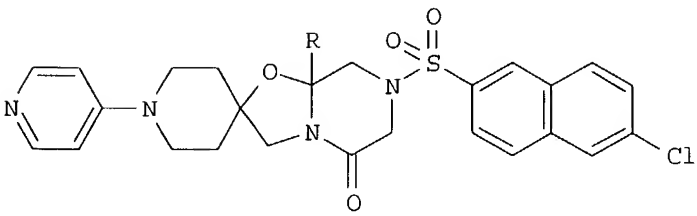

II

AB Arom. compds. having cyclic amino which are represented by general formula

(I) or salts thereof [wherein A = H, (un)substituted (un)satd. 5- to 6-membered cyclic hydrocarbyl or heterocyclyl, (un)substituted NH2, (un)substituted imidoyl; B = single bond, CO, SO, (un)substituted C1-2 alkylene; D = H, (un)substituted CHO, (un)substituted C1-6 alkyl; X = N, (un)substituted methine; Y = O, S(O)y (wherein y = 0,1,2), (un)substituted NH; Z = CH2, CO, C(S); T = S(O)z (wherein z = 0,1,2), CO, (un)substituted C1-2 alkylene; Q = (un)substituted hydrocarbyl or heterocyclyl; m, n, q = 0, 1,2; p = 0,1; the three rings contg. X, Y, or Z is optionally substituted; the bond represented by a dotted and solid line in the ring contg. Z is a single bond or a double bond when p = 0] are prepd. These compds. are useful as drugs, in particular, activated blood coagulation factor X inhibitors for the prevention and treatment of diseases caused by thrombus or embolism, influenza virus infection, or periodontosis, exert a potent anticoagulation effect, and can be orally administered. A pharmacophore derived from the above compds. is also useful in mol. designing Fxa inhibitors. Thus, 4-(aminomethyl)-1-benzyl-4-hydroxypiperidine was cyclocondensed with Et 2-[N-(3-acetoxy-2-oxopropan-1-yl)-N-(6-chloronaphthalene-2-ylsulfonyl)amino]acetate under reflux in the presence of p-MeC6H4SO3H.H2O using a Dean-Stark trap to give 6-acetoxy-1,4-diaza-1'-benzyl-4-(6-chloronaphthalene-2-ylsulfonyl)-7-oxaspiro[bicyclo[4.3.0]nonan-8,4'-piperidine]-2-one which underwent sapon. with a mixt. of aq. NaOH and MeOH, methylation by di-Me sulfate, and debenzylation with 1-chloroethyl chloroformate to give 1,4-diaza-4-(6-chloronaphthalene-2-ylsulfonyl)-6-(methoxymethyl)-7-oxaspiro[bicyclo[4.3.0]nonan-8,4'-piperidine]-2-one hydrochloride. The latter compd. was condensed with 4-chloropyridine hydrochloride in the presence of diisopropylethylamine in 2-ethoxyethanol under reflux for 2 h to give 1,4-diaza-4-(6-chloronaphthalene-2-ylsulfonyl)-6-(methoxymethyl)-7-oxo-1'-(4-pyridyl)-spiro[bicyclo[4.3.0]nonan-8,4'-piperidine]-2-one (II; R = CH2OMe). II (R = CH2OMe) and II (R = CO2Et) showed IC50 of 0.0032 and 0.0015 .mu.M, resp., against Fxa.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l9 fbib hitstr abs total

L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:31501 CAPLUS
DN 134:100887
TI Preparation of tricyclic compounds having spiro-piperidine as inhibitors of blood coagulation factor X (FXa) and anticoagulants
IN Nishida, Hidemitsu; Saitoh, Fumihiko; Harada, Kousuke; Shiromizu, Ikuya
PA Mochida Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 305 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001002397	A1	20010111	WO 2000-JP4374	20000630

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
JP 1999-222883 A 19990630

EP 1191028 A1 20020327 EP 2000-940912 20000630
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO
JP 1999-222883 A 19990630
WO 2000-JP4374 W 20000630

BR 2000012093 A 20020716 BR 2000-12093 20000630
JP 1999-222883 A 19990630
WO 2000-JP4374 W 20000630

ZA 2001010558 A 20020912 ZA 2001-10558 20011221
JP 1999-222883 A 19990630

US 2003045520 A1 20030306 US 2001-26606 20011227
JP 1999-222883 A 19990630
WO 2000-JP4374 A220000630
JP 2000-399998 A 20001228

NO 2001006402 A 20020227 NO 2001-6402 20011228
JP 1999-222883 A 19990630
WO 2000-JP4374 W 20000630

PATENT FAMILY INFORMATION:

FAN 2002:521746

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002053568	A1	20020711	WO 2001-JP11656	20011228

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
JP 2000-399998 A 20001228

EP 1346994 A1 20030924 EP 2001-272922 20011228
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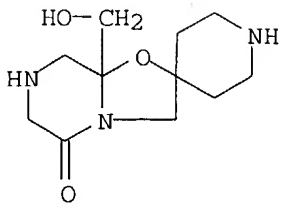
JP 2000-399998 A 20001228
WO 2001-JP11656W 20011228

OS MARPAT 134:100887

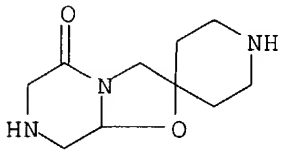
IT **318988-48-6P**, 1,4-Diaza-6-(hydroxymethyl)-7-oxaspiro[bicyclo[4.3.0]nonane-8,4'-piperidine]-2-one **318988-58-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of tricyclic compds. having spiro-piperidine as inhibitors of blood coagulation factor X (FXa) and anticoagulants or as pharmacophores in mol. designing Fxa inhibitors)

RN 318988-48-6 CAPLUS

CN Spiro[5H-oxazolo[3,2-a]pyrazine-2(3H),4'-piperidin]-5-one, tetrahydro-8a-(hydroxymethyl)- (9CI) (CA INDEX NAME)



RN 318988-58-8 CAPLUS
CN Spiro[5H-oxazolo[3,2-a]pyrazine-2(3H),4'-piperidin]-5-one, tetrahydro- (9CI) (CA INDEX NAME)



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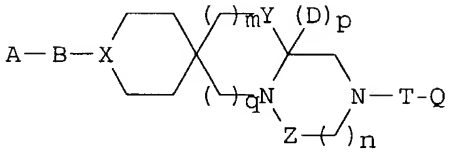

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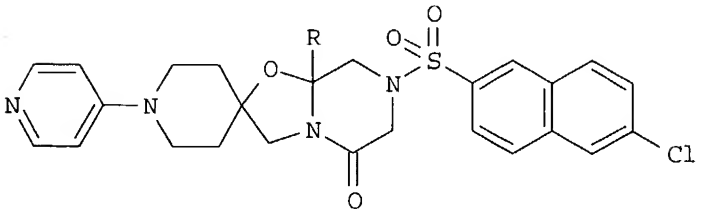

II

AB Arom. compds. having cyclic amino which are represented by general formula (I) or salts thereof [wherein A = H, (un)substituted (un)satd. 5- to 6-membered cyclic hydrocarbyl or heterocyclyl, (un)substituted NH2, (un)substituted imidoyl; B = single bond, CO, SO, (un)substituted C1-2 alkylene; D = H, (un)substituted CHO, (un)substituted C1-6 alkyl; X = N, (un)substituted methine; Y = O, S(O)y (wherein y = 0,1,2), (un)substituted NH; Z = CH2, CO, C(S); T = S(O)z (wherein z = 0,1,2), CO, (un)substituted C1-2 alkylene; Q = (un)substituted hydrocarbyl or heterocyclyl; m, n, q = 0, 1,2; p = 0,1; the three rings contg. X, Y, or Z is optionally substituted; the bond represented by a dotted and solid line in the ring contg. Z is a single bond or a double bond when p = 0] are prepd. These compds. are useful as drugs, in particular, activated blood coagulation factor X inhibitors for the prevention and treatment of diseases caused by thrombus or embolism, influenza virus infection, or periodontosis, exert a potent anticoagulation effect, and can be orally administered. A

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RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
=> d his

     (FILE 'HOME' ENTERED AT 15:07:30 ON 17 NOV 2003)

     FILE 'REGISTRY' ENTERED AT 15:07:43 ON 17 NOV 2003
L1             STRUCTURE UPLOADED
L2           0 S L1
L3           2 S L1 SSS FULL

     FILE 'MARPAT' ENTERED AT 15:08:15 ON 17 NOV 2003
L4           0 S L1
L5           0 S L1 SSS FULL

     FILE 'CAOLD' ENTERED AT 15:08:44 ON 17 NOV 2003
               S L1

     FILE 'REGISTRY' ENTERED AT 15:08:54 ON 17 NOV 2003
L6           2 S L1 SSS FULL

     FILE 'CAOLD' ENTERED AT 15:08:54 ON 17 NOV 2003
L7           0 S L6 SSS FULL

     FILE 'CAPLUS' ENTERED AT 15:09:41 ON 17 NOV 2003
L8           1 S L3
L9           1 S L6

=> s l8 and l19 and pyrimidine
L10          0 L8 AND LL9 AND PYRIMIDINE

=> s l8 and l9 and imidazole
L11          0 L8 AND L9 AND IMIDAZOLE

=> s l8 and l9 and imidazolidine
L12          0 L8 AND L9 AND IMIDAZOLIDINE

=> log y
COST IN U.S. DOLLARS                    SINCE FILE      TOTAL
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	ENTRY	SESSION
FULL ESTIMATED COST	30.95	432.81

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-1.30	-1.30

STN INTERNATIONAL LOGOFF AT 15:13:58 ON 17 NOV 2003

Welcome to STN International! Enter x:x

LOGINID:ssspta1611sxp

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS 4	AUG 05	New pricing for EUROPATFULL and PCTFULL effective August 1, 2003
NEWS 5	AUG 13	Field Availability (/FA) field enhanced in BEILSTEIN
NEWS 6	AUG 18	Data available for download as a PDF in RDISCLOSURE
NEWS 7	AUG 18	Simultaneous left and right truncation added to PASCAL
NEWS 8	AUG 18	FROSTI and KOSMET enhanced with Simultaneous Left and Righ Truncation
NEWS 9	AUG 18	Simultaneous left and right truncation added to ANABSTR
NEWS 10	SEP 22	DIPPR file reloaded
NEWS 11	SEP 25	INPADOC: Legal Status data to be reloaded
NEWS 12	SEP 29	DISSABS now available on STN
NEWS 13	OCT 10	PCTFULL: Two new display fields added
NEWS 14	OCT 21	BIOSIS file reloaded and enhanced
NEWS 15	OCT 28	BIOSIS file segment of TOXCENTER reloaded and enhanced

NEWS EXPRESS	NOVEMBER 14 CURRENT WINDOWS VERSION IS V6.01c, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 15:22:22 ON 17 NOV 2003

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 15:22:35 ON 17 NOV 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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STRUCTURE FILE UPDATES: 16 NOV 2003 HIGHEST RN 617673-49-1
DICTIONARY FILE UPDATES: 16 NOV 2003 HIGHEST RN 617673-49-1

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10026606.2

L1 STRUCTURE UPLOADED

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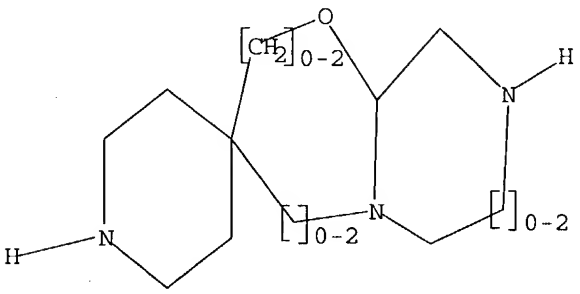
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 15:22:59 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 79 TO ITERATE

100.0% PROCESSED 79 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1047 TO 2113

Welcome to STN International! Enter x:x

LOGINID:ssspta1611sxp

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
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NEWS 4	AUG 05	New pricing for EUROPATFULL and PCTFULL effective August 1, 2003
NEWS 5	AUG 13	Field Availability (/FA) field enhanced in BEILSTEIN
NEWS 6	AUG 18	Data available for download as a PDF in RDISCLOSURE
NEWS 7	AUG 18	Simultaneous left and right truncation added to PASCAL
NEWS 8	AUG 18	FROSTI and KOSMET enhanced with Simultaneous Left and Righ Truncation
NEWS 9	AUG 18	Simultaneous left and right truncation added to ANABSTR
NEWS 10	SEP 22	DIPPR file reloaded
NEWS 11	SEP 25	INPADOC: Legal Status data to be reloaded
NEWS 12	SEP 29	DISSABS now available on STN
NEWS 13	OCT 10	PCTFULL: Two new display fields added
NEWS 14	OCT 21	BIOSIS file reloaded and enhanced
NEWS 15	OCT 28	BIOSIS file segment of TOXCENTER reloaded and enhanced

NEWS EXPRESS	NOVEMBER 14 CURRENT WINDOWS VERSION IS V6.01c, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
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FILE 'HOME' ENTERED AT 15:44:30 ON 17 NOV 2003

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

Patel <11/18/2003>

FILE 'REGISTRY' ENTERED AT 15:44:44 ON 17 NOV 2003

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 16 NOV 2003 HIGHEST RN 617673-49-1
DICTIONARY FILE UPDATES: 16 NOV 2003 HIGHEST RN 617673-49-1

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

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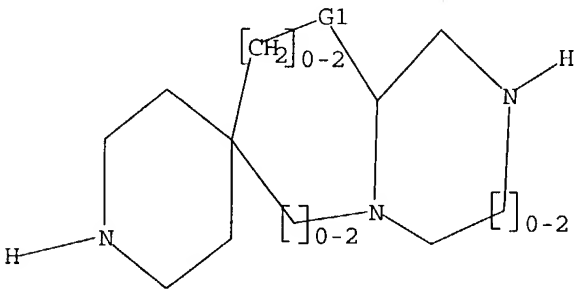
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10026606.3

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 O,S,SO2,NH

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full
STRUCTURE TOO LARGE - SEARCH ENDED
A structure in your query is too large. You may delete attributes or atoms to reduce the size of the structure and try again.

=> log y
COST IN U.S. DOLLARS SINCE FILE TOTAL

	ENTRY	SESSION
FULL ESTIMATED COST	0.80	1.01

STN INTERNATIONAL LOGOFF AT 15:45:49 ON 17 NOV 2003

Welcome to STN International! Enter x:x

LOGINID:ssspta1611sxp

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
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NEWS	8	AUG 18	FROSTI and KOSMET enhanced with Simultaneous Left and Righ Truncation
NEWS	9	AUG 18	Simultaneous left and right truncation added to ANABSTR
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FILE 'HOME' ENTERED AT 15:47:16 ON 17 NOV 2003

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

Patel <11/18/2003>

FILE 'REGISTRY' ENTERED AT 15:47:25 ON 17 NOV 2003

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 16 NOV 2003 HIGHEST RN 617673-49-1
DICTIONARY FILE UPDATES: 16 NOV 2003 HIGHEST RN 617673-49-1

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10026606.4

L1 STRUCTURE UPLOADED

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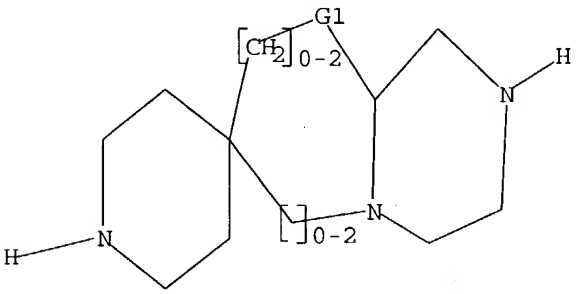
L1 HAS NO ANSWERS
L1 STR



G1 O,S,SO2,NH

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full
FULL SEARCH INITIATED 15:47:50 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 91496 TO ITERATE

100.0% PROCESSED 91496 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.02

L2 2 SEA SSS FUL L1

```
=> file marpat
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   148.15     148.36

FILE 'MARPAT' ENTERED AT 15:47:59 ON 17 NOV 2003
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FILE CONTENT: 1988-PRESENT (VOL 104 ISS 15-VOL 139 ISS20)(20031114ED)

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(COVERAGE TO THESE DATES IS NOT COMPLETE):

US     6632961 14 OCT 2003
DE    10232663 16 OCT 2003
EP     1354869 22 OCT 2003
JP 2003300880 21 OCT 2003
WO 2003087212 23 OCT 2003

Structure search limits have been raised.  See HELP SLIMIT for the new,
higher limits.

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FULL SCREEN SEARCH COMPLETED -   3809 TO ITERATE

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 92.7% PROCESSED    3531 ITERATIONS                          0 ANSWERS

 98.6% PROCESSED    3756 ITERATIONS                          0 ANSWERS

 99.5% PROCESSED    3791 ITERATIONS  (     1 INCOMPLETE)     1 ANSWERS

100.0% PROCESSED    3809 ITERATIONS  (     1 INCOMPLETE)     1 ANSWERS
SEARCH TIME: 00.01.26

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                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   105.35     253.71

FILE 'CAPLUS' ENTERED AT 15:49:48 ON 17 NOV 2003
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FILE COVERS 1907 - 17 Nov 2003 VOL 139 ISS 21
FILE LAST UPDATED: 16 Nov 2003 (20031116/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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FILE 'CAPLUS' ENTERED AT 15:49:48 ON 17 NOV 2003

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L5 1 L3

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L6 0 L4 AND L5

=> d l4 fbib hitstr abs total

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:31501 CAPLUS
DN 134:100887
TI Preparation of tricyclic compounds having spiro-piperidine as inhibitors of blood coagulation factor X (FXa) and anticoagulants
IN Nishida, Hidemitsu; Saitoh, Fumihiko; Harada, Kousuke; Shiromizu, Ikuya
PA Mochida Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 305 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001002397	A1	20010111	WO 2000-JP4374	20000630

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
JP 1999-222883 A 19990630

EP 1191028 A1 20020327 EP 2000-940912 20000630
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO
JP 1999-222883 A 19990630
WO 2000-JP4374 W 20000630

BR 2000012093 A 20020716 BR 2000-12093 20000630
JP 1999-222883 A 19990630
WO 2000-JP4374 W 20000630

ZA 2001010558 A 20020912 ZA 2001-10558 20011221
JP 1999-222883 A 19990630

US 2003045520 A1 20030306 US 2001-26606 20011227
JP 1999-222883 A 19990630
WO 2000-JP4374 A220000630
JP 2000-399998 A 20001228

NO 2001006402 A 20020227 NO 2001-6402 20011228
JP 1999-222883 A 19990630
WO 2000-JP4374 W 20000630

PATENT FAMILY INFORMATION:

FAN 2002:521746

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PI	WO 2002053568	A1	20020711	WO 2001-JP11656	20011228

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JP 2000-399998 A 20001228

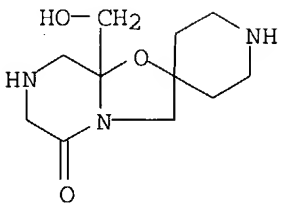
EP 1346994 A1 20030924 EP 2001-272922 20011228
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
JP 2000-399998 A 20001228
WO 2001-JP11656W 20011228

OS MARPAT 134:100887

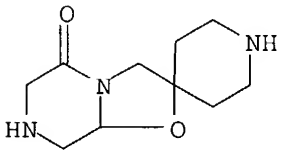
IT **318988-48-6P**, 1,4-Diaza-6-(hydroxymethyl)-7-oxaspiro[bicyclo[4.3.0]nonane-8,4'-piperidine]-2-one **318988-58-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of tricyclic compds. having spiro-piperidine as inhibitors of blood coagulation factor X (FXa) and anticoagulants or as pharmacophores in mol. designing Fxa inhibitors)

RN 318988-48-6 CAPLUS

CN Spiro[5H-oxazolo[3,2-a]pyrazine-2(3H),4'-piperidin]-5-one, tetrahydro-8a-(hydroxymethyl)- (9CI) (CA INDEX NAME)



RN 318988-58-8 CAPLUS
CN Spiro[5H-oxazolo[3,2-a]pyrazine-2(3H),4'-piperidin]-5-one, tetrahydro- (9CI) (CA INDEX NAME)



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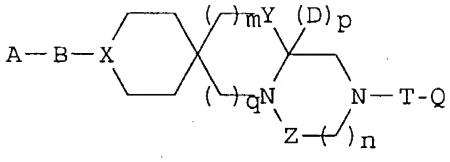

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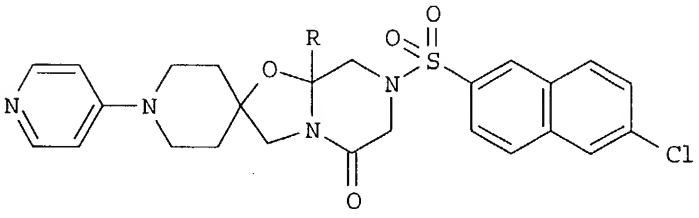

II

AB Arom. compds. having cyclic amino which are represented by general formula (I) or salts thereof [wherein A = H, (un)substituted (un)satd. 5- to 6-membered cyclic hydrocarbyl or heterocyclyl, (un)substituted NH2, (un)substituted imidoyl; B = single bond, CO, SO, (un)substituted C1-2 alkylene; D = H, (un)substituted CHO, (un)substituted C1-6 alkyl; X = N, (un)substituted methine; Y = O, S(O)y (wherein y = 0,1,2), (un)substituted NH; Z = CH2, CO, C(S); T = S(O)z (wherein z = 0,1,2), CO, (un)substituted C1-2 alkylene; Q = (un)substituted hydrocarbyl or heterocyclyl; m, n, q = 0, 1,2; p = 0,1; the three rings contg. X, Y, or Z is optionally substituted; the bond represented by a dotted and solid line in the ring contg. Z is a single bond or a double bond when p = 0] are prepd. These compds. are useful as drugs, in particular, activated blood coagulation factor X inhibitors for the prevention and treatment of diseases caused by thrombus or embolism, influenza virus infection, or periodontosis, exert a potent anticoagulation effect, and can be orally administered. A

pharmacophore derived from the above compds. is also useful in mol. designing Fxa inhibitors. Thus, 4-(aminomethyl)-1-benzyl-4-hydroxypiperidine was cyclocondensed with Et 2-[N-(3-acetoxy-2-oxopropan-1-yl)-N-(6-chloronaphthalene-2-ylsulfonyl)amino]acetate under reflux in the presence of p-MeC6H4SO3H.H2O using a Dean-Stark trap to give 6-acetoxy-1,4-diaza-1'-benzyl-4-(6-chloronaphthalene-2-ylsulfonyl)-7-oxaspiro[bicyclo[4.3.0]nonan-8,4'-piperidine]-2-one which underwent sapon. with a mixt. of aq. NaOH and MeOH, methylation by di-Me sulfate, and debenzylation with 1-chloroethyl chloroformate to give 1,4-diaza-4-(6-chloronaphthalene-2-ylsulfonyl)-6-(methoxymethyl)-7-oxaspiro[bicyclo[4.3.0]nonan-8,4'-piperidine]-2-one hydrochloride. The latter compd. was condensed with 4-chloropyridine hydrochloride in the presence of diisopropylethylamine in 2-ethoxyethanol under reflux for 2 h to give 1,4-diaza-4-(6-chloronaphthalene-2-ylsulfonyl)-6-(methoxymethyl)-7-oxo-1'-(4-pyridyl)-spiro[bicyclo[4.3.0]nonan-8,4'-piperidine]-2-one (II; R = CH2OMe). II (R = CH2OMe) and II (R = CO2Et) showed IC50 of 0.0032 and 0.0015 .mu.M, resp., against Fxa.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l5 fbib hitstr abs total

L5 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:5724 CAPLUS
DN **138:73262**
TI Preparation of thienopyridines and thienopyrimidines as anticancer agents
IN Marx, Matthew A.; Luzzio, Michael J.; Autry, Christopher L.
PA Pfizer Inc., USA
SO PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003000194	A2	20030103	WO 2002-US19830	20020620

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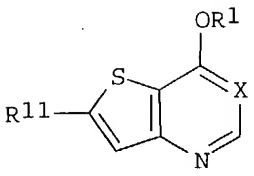
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2001-299879PP 20010621

OS MARPAT 138:73262
GI



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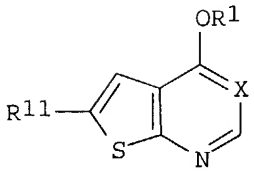

II

AB Title compds. [I, II; R1 = H, A, COA, (R5-substituted) Ar, het; A = alkyl; Het = heterocyclyl; Ar = aryl; R5 = halo, CN, NO2, OCF3, CF3, N3, COR8, CO2R8, O2CR8, OCO2R8, NR6COR7, NR6R7, OR9, SO2NR6R7, A, (CH2)tO(CH2)qOR9, (CH2)tOR9, S(O)jA, (CH2)tAr, (CH2)tHet, CO(CH2)tAr, (CH2)tO(CH2)jAr, (CH2)tO(CH2)qHet, CO(CH2)tHet, (CH2)jNR7(CH2)qNR6R7, (CH2)jNR7CH2C(O)NR6R7, (CH2)jNR7(CH2)tO(CH2)qOR9, (CH2)jNR7(CH2)qS(O)jA, (CH2)jNR7(CH2)tR6, SO2(CH2)tAr, SO2(CH2)tHet, etc.; j = 0-2; t = 0-6; q = 2-6; A, Ar, Het of R5 are optionally substituted by 1-3 halo, CN, NO2, CF3, N3, COR8, CO2R8, OCO2R8, NR6COR7, (CH2)tNR6R7, A, (CH2)tAr, (CH2)tHet, etc.; R6, R7 = H, A, (CH2)tAr, (CH2)tHet, (CH2)tO(CH2)qOR9, (CH2)tOR9; the A, Ar, Het of R6, R7 are optionally substituted by 1-3 halo, CN, NO2, CF3, N3, COR8, CO2R8, OCO2R8, NR9COR10, CONR9R10, NR9R10, A, (CH2)tAr, (CH2)tHet, (CH2)tOR9, etc.; R8 = H, A, (CH2)tAr, (CH2)tHet; t = 0-6; R9, R10 = H, Ar; R11 = H, A, CONR12R13, COAr, (CH2)tAr, (CH2)tHet, (CH2)tNR12R13, SO2NR12R13, CO2R12, A, COAr, (CH2)tAr, and (CH2)tHet are optionally substituted by 1-5 R5; R12, R13 = H, A, (CH2)t(cycloalkyl), (CH2)tAr, (CH2)tHet, (CH2)tO(CH2)qOR9, (CH2)tOR9, A, Ar, Het are optionally substituted by 1-3 R5; R12R13N = (R5-substituted) azabicyclic, aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, (thio)morpholinyl, (dihydro)isoquinolinyl], were prepd. (no data). Thus, Cs2CO3, (3R)-(7-chlorothieno[3,2-b]pyridin-2-yl)(3-methoxypyrrolidin-1-yl)methanone (prepn. given), and 2-methyl-1H-indol-5-ol (prepn. given) in DMF were heated at 90.degree. for 20 h to give (3R)-(3-methoxypyrrolidin-1-yl)[7-(2-methyl-1H-indol-5-yloxy)thieno[3,2-b]pyridin-2-yl]methanone.

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	13.82	267.53

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-1.30	-1.30

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